

chain nodes :

14 15 22 23 24 25 26 27 28 29 30 31 32 33 34 35

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 16 17 18 19 20 21

chain bonds :

2-20 4-25 7-14 8-15 9-24 11-23 12-26 12-27 16-30 16-31 17-22 18-34 18-35 19-32
19-33 21-28 21-29

ring bonds :

1-2 1-6 1-13 2-3 3-4 4-5 5-6 5-7 6-10 7-8 8-9 9-10 10-11 11-12 12-13 16-17
16-21 17-18 18-19 19-20 20-21

exact/norm bonds :

1-13 2-20 5-7 6-10 7-8 7-14 8-9 9-10 10-11 11-12 12-13 16-17 16-21 17-18
18-19 19-20 20-21

exact bonds :

4-25 8-15 9-24 11-23 12-26 12-27 16-30 16-31 17-22 18-34 18-35 19-32 19-33
21-28 21-29

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom
12:Atom 13:Atom 14:CLASS 15:CLASS 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom
22:CLASS 23:CLASS 24:CLASS 25:CLASS 26:CLASS 27:CLASS 28:CLASS 29:CLASS 30:CLASS
31:CLASS 32:CLASS 33:CLASS 34:CLASS 35:CLASS

* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 10:45:34 ON 22 SEP 2003

=> file reg

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 10:45:43 ON 22 SEP 2003

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Property values tagged with IC are from the ZIC/VINITI data file
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STRUCTURE FILE UPDATES: 21 SEP 2003 HIGHEST RN 590345-44-1

DICTIONARY FILE UPDATES: 21 SEP 2003 HIGHEST RN 590345-44-1

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP
PROPERTIES for more information. See STNNote 27, Searching Properties
in the CAS Registry File, for complete details:
<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

=>

Uploading 10070556.str

L1 STRUCTURE UPLOADED

=> s l1

SAMPLE SEARCH INITIATED 10:46:01 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 27 TO ITERATE

100.0% PROCESSED 27 ITERATIONS

2 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 229 TO 851

PROJECTED ANSWERS: 2 TO 124

L2 2 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 10:46:08 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 462 TO ITERATE

100.0% PROCESSED 462 ITERATIONS

66 ANSWERS

SEARCH TIME: 00.00.01

L3 66 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
148.15	148.36

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 10:46:15 ON 22 SEP 2003
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FILE COVERS 1907 - 22 Sep 2003 VOL 139 ISS 13
FILE LAST UPDATED: 21 Sep 2003 (20030921/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3

L4 4853 L3

=> s l4 and (preparation? or synthesis?)

L5 382 L4 AND (PREPARATION? OR SYNTHESIS?)

=> s l5 and antibacterial?

L6 112 L5 AND ANTIBACTERIAL?

=> s l6 and boron?

L7 7 L6 AND BORON?

=> d ibib abs hitstr tot

L7 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2001:63170 CAPLUS

DOCUMENT NUMBER: 139:30223

TITLE: Synthesis, antibacterial activity, and toxicity of 7-(isoindolin-5-yl)-4-oxoquinoline-3-carboxylic acids: Discovery of the novel Des-P(6)-quinolone antibacterial agent garenoxacin (T-3811 or BMS-284756)

AUTHOR(S): Hayashi, Kazuya; Takahata, Masahiro; Kawamura, Yasuhito; Todo, Yozo

CORPORATE SOURCE: Res. Lab., Toyama Chem. Co., Ltd., Toyama, Japan

SOURCE: Arzneimittel-Forschung (2002), 52(12), 903-913

CODEN: ARZNAD; ISSN: 0004-4172

PUBLISHER: Editio Cantor Verlag

DOCUMENT TYPE: Journal

LANGUAGE: English/German

AB The palladium-catalyzed cross-coupling reaction of 5-(tributylstannyl)isoindoline and its 1- and 3-Me derivs. with 6-fluoro-

or 6-unsubstituted 7-bromo-1-cyclopropyl-8-methoxy (or difluoromethoxy)-4-oxoquinoline-3-carboxylate afforded the corresponding 1-cyclopropyl-7-(5-isoindolinyl)-4-oxoquinoline-3-carboxylic acids: 6-fluoro, 1a-7a and 6-nonfluoro, 1b-7b. The in vitro antibacterial spectra of the newly synthesized quinolones were mostly characterized by excellent Gram-pos. activity against *Staphylococcus aureus* and *Streptococcus pneumoniae* including quinolone-resistant strains, and also by significant Gram-neg. activity comparable to 7-(1-piperazinyl)fluoroquinolones. Comparative exams. of the in vitro anti-bacterial profiles and the in vivo toxicity in terms of i.v. lethality, micronuclei-inducing potential and convulsive activity provided 6-nonfluorinated 1-cyclopropyl-8-(difluoromethoxy)-7-(1-methylisoindolin-5-yl)-4-oxoquinoline-3-carboxylic acid [(+)-.].cntdot.5b) as the candidate for evaluation of the stereoisomers. The enantiomers (R)-5b and (S)-5b were synthesized via

the Suzuki coupling reaction of (R)- and (S)-1-Me derivs. of 2-(triphenylmethyl)isoindolin-5-boronic acid with the corresponding 7-bromo-8-(difluoromethoxy)-4-oxoquinoline-3-carboxylate. The (R)-5b stereoisomer proved to be 2- to 4-fold more active than the (S)-5b stereoisomer against the organisms tested, with the exception of

an equal potency obsd. with *S. pneumoniae* IID553 and *Haemophilus influenzae* ATCC49247. A noticeable in vitro antibacterial profile of (R)-5b was that it is 16- and 65-fold more active than levofloxacin (CAS 100986-85-4) and ciprofloxacin (CAS 86393-32-0), resp., against *Mycoplasma pneumoniae* IID813 (MIC of 0.0313 .mu.g/mL), and 4-fold more active than ciprofloxacin and levofloxacin against *Mycobacterium tuberculosis* M-4 (MIC of 0.0313 .mu.g/mL). Addnl. studies indicate that (R)-5b (T-3811) exhibits excellent antibacterial activity against a wide range of organisms including anaerobes and common respiratory pathogens, while demonstrating a high selectivity against the mammalian homolog topoisomerases. The methane-sulfonate of (R)-5b (T-3811MS) is now undergoing clin. testings.

REFERENCE COUNT: 50 THERE ARE 50 CITED REFERENCES AVAILABLE FOR

THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L7 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:849446 CAPLUS

DOCUMENT NUMBER: 137:370100

TITLE: Preparation of pyridopyrimidine derivatives as inhibitors of drug efflux pump of microorganisms

INVENTOR(S): Nakayama, Kiyoshi; Ohtsuka, Masami; Kawato, Haruko; Okumura, Ryo; Hoshino, Kazuki; Watkins, William; Zhang, Jason; Palmer, Monica; Cho, Aesop

PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan; Essential

SOURCE: Therapeutics, Inc. PCT Int. Appl., 545 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002087589	A1	20021107	WO 2002-JP4087	20020424
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

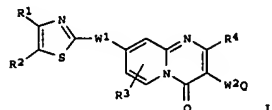
TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CP, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2003092720 A1 20030515 US 2001-842234 A 20010426

PRIORITY APPLN. INFO.: JP 2002-33133 A 20020208

OTHER SOURCE(S): MARPAT 137:370100

G1



AB The title compds. I [R1 and R2 each represent hydrogen, a halogen atom, a hydroxyl group or the like; W1 represents CH:CH, CH2O, CH2CH2 or the like;

R3 represents hydrogen, a halogen atom, a hydroxyl group or an amino group; R4 represents hydrogen, OZ0-4R5 (where Z0-4 represents an alkylene group or a fluorine-substituted alkylene group or a single bond and R5 represents a cyclic alkyl group, an aryl group or the like) or the like; W2 represents a single bond or C(R8):C(R9) (where R8 and R9 each represent

hydrogen, a halogen atom, a lower alkyl group or the like) and Q represents an acidic group; a proviso is given] are prepd. A method for screening inhibitors of drug efflux pump of microorganisms is disclosed.

Habe

L7 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

L7 ANSWER 2 OF 7 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)

Comps. of this invention in vitro enhanced the antibacterial activity of levofloxacin against *P. aeruginosa* PAM 1723.

IT 100986-85-4, Levofloxacin

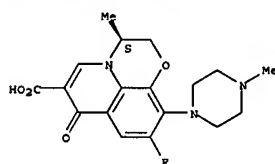
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(preps. of pyridopyrimidine derivs. as inhibitors of drug efflux pump of microorganisms for enhancing activity of levofloxacin)

RN 100986-85-4 CAPLUS

CN 7H-Pyrido[1,2,3-de]-1,4-benzoxazine-6-carboxylic acid, 9-fluoro-2,3-dihydro-3-methyl-10-(4-methyl-1-piperazinyl)-7-oxo-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR

THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

9/22/2003

L7 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 2001:136991 CAPLUS
 DOCUMENT NUMBER: 134:198075
 TITLE: Triglyceride-free compositions and methods for enhanced absorption of hydrophilic therapeutic agents
 INVENTOR(S): Patel, Maheah V.; Chen, Feng-Jing
 PATENT ASSIGNEE(S): Lipocine, Inc., USA
 SOURCE: PCT Int. Appl., 113 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 8
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001012155	A1	20010222	WO 2000-US18807	20000710
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KQ, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, CH, GA, GN, GW, ML, MR, NE, SN, TD, TG			
US 6309663	B1	20011030	US 1999-375636	19990817
EP 1210063	A1	20020605	EP 2000-947184	20000710
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL			
JP 2003506476	T2	20030218	JP 2001-516502	20000710
US 2001024658	A1	20010927	US 2000-751968	20001229
US 6458383	B2	20021001		

PRIORITY APPLN. INFO.: US 1999-375636 A 19990817
 WO 2000-US18807 W 20000710

AB The present invention relates to triglyceride-free pharmaceutical compns., pharmaceutical systems, and methods for enhanced absorption of hydrophilic therapeutic agents. The compns. and systems include an absorption enhancing carrier, where the carrier is formed from a combination of at least two surfactants, at least one of which is hydrophilic. A hydrophilic therapeutic agent can be incorporated into the compn., or can be co-administered with the compn. as part of a pharmaceutical system. The invention also provides methods of treatment with hydrophilic therapeutic agents using these compns. and systems. For example, when a compn. contg. Cremophor RH40 0.30, Arlacel 186 0.20, Na taurocholate 0.18, and propylene glycol 0.32 g, resp., was used, the relative absorption of PEG 4000 as a model macromol. drug was enhanced by 991%.

IT 82419-36-1, Ofloxacin 100986-85-4, Levofloxacin
 RI: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (compns. for enhanced absorption of hydrophilic drugs using combination of surfactants)

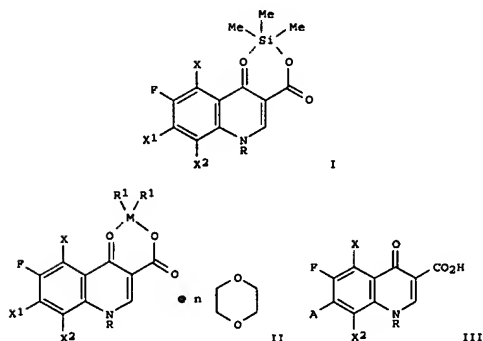
RN 82419-36-1 CAPLUS

L7 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1996:596081 CAPLUS
 DOCUMENT NUMBER: 125:247630
 TITLE: Trimethylsilyl esters and solvates of chelates of quinoline-3-carboxylic acids, and their preparation and use in a process for quinolone antibacterials.

INVENTOR(S): Palomo Nicolau, Francisco Eugenio; Solis Oller, Jose Maria; Palomo Coll, Antonio Luis
 PATENT ASSIGNEE(S): Centro Marga Para La Investigacion S.A., Spain
 SOURCE: Span., 14 pp.
 CODEN: SPXXAD
 DOCUMENT TYPE: Patent
 LANGUAGE: Spanish
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ES 2077490	A1	19951116	ES 1992-2560	19921118
ES 2077490	B1	19961016		

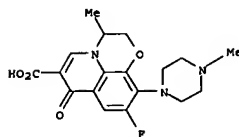
PRIORITY APPLN. INFO.: ES 1992-2560 19921118
 OTHER SOURCE(S): CASREACT 125:247630; MARPAT 125:247630
 GI



AB Trimethylsilyl esters I and chelates II [X = H, NH₂, NHAc, Me; X₁ = halo, alkyl, aryl, arylalkoxy; X₂ = H, halo, Me, OMe, OCH₂Me, OH, SO₃H, NO₂; when X = H, then X₁ and X₂ do not both = F; R = alkyl, cycloalkyl, alkylamino, aryl, alkylarom. group; X₂R may form 5- or 6-membered heterocycle; M = B, Al; R₁ = halo, acyloxy; n = 0.5-2.0] are claimed.

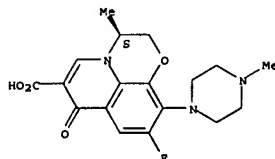
Habte

L7 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 CN 7H-Pyrido[1,2,3-de]-1,4-benzoxazine-6-carboxylic acid, 9-fluoro-2,3-dihydro-3-methyl-10-(4-methyl-1-piperazinyl)-7-oxo-, (9CI) (CA INDEX NAME)



RN 100986-85-4 CAPLUS
 CN 7H-Pyrido[1,2,3-de]-1,4-benzoxazine-6-carboxylic acid, 9-fluoro-2,3-dihydro-3-methyl-10-(4-methyl-1-piperazinyl)-7-oxo-, (3S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



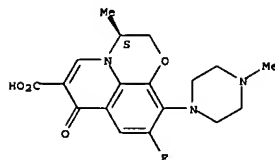
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
 FORMAT

L7 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 compds. are intermediates for quinolone antibacterials III [A = substituted amino]. For instance, 1-cyclopropyl-7-chloro-1,4-dihydro-6-fluoro-4-oxo-3-quinolinecarboxylic acid reacted with HN(SiMe₃)₂ in refluxing CHCl₃ to give 99% I [X = X₂ = H; X₁ = Cl; R = cyclopropyl]. This reacted with BP3 in MeCN/1,4-dioxane mixt. at 12-15.degree. and then 20-25.degree. to give II [M = B; R₁ = F; n unspecified; others as above] in virtually quant. yield. Reaction of this with anhyd. piperazine in DMSO at 50-65.degree., followed by hydrolysis with 10% NaOH at 60.degree., gave the corresponding III [A = piperazinol], i.e. ciprofloxacin.

IT 100986-85-4BP, boron complexes
 RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (intermediate; prepn. of quinolinecarboxylic acid trimethylsilyl esters and chelate solvates as intermediates for quinolones)

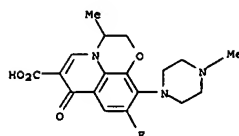
RN 100986-85-4 CAPLUS
 CN 7H-Pyrido[1,2,3-de]-1,4-benzoxazine-6-carboxylic acid, 9-fluoro-2,3-dihydro-3-methyl-10-(4-methyl-1-piperazinyl)-7-oxo-, (3S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IT 82419-36-1P 100986-85-4P
 RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of quinolinecarboxylic acid trimethylsilyl esters and chelate solvates as intermediates for quinolones)

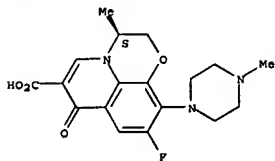
RN 82419-36-1 CAPLUS
 CN 7H-Pyrido[1,2,3-de]-1,4-benzoxazine-6-carboxylic acid, 9-fluoro-2,3-dihydro-3-methyl-10-(4-methyl-1-piperazinyl)-7-oxo-, (9CI) (CA INDEX NAME)



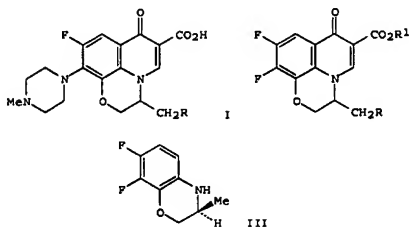
9/22/2003

L7 ANSWER 4 OF 7 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 RN 100986-85-4 CAPLUS
 CN 7H-Pyrido[1,2,3-de]-1,4-benzoxazine-6-carboxylic acid,
 9-fluoro-2,3-dihydro-3-methyl-10-(4-methyl-1-piperazinyl)-7-oxo-, (3S)-
 (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



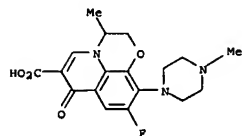
L7 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1988:131711 - CAPLUS
 DOCUMENT NUMBER: 108:131711
 TITLE: Synthesis and antibacterial activities of optically active ofloxacin and its fluoromethyl derivative
 AUTHOR(S): Atarashi, Shohgo; Yokohama, Shuichi; Yamazaki, Kenichi; Sakano, Katsuichi; Inamura, Masazumi; Hayakawa, Isao
 CORPORATE SOURCE: Res. Inst., Daiichi Seiyaku Co., Ltd., Tokyo, 134, Japan
 SOURCE: Chemical & Pharmaceutical Bulletin (1987), 35(5), 1896-902
 CODEN: CPBTAL; ISSN: 0009-2363
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 108:131711
 GI



AB The enantiomers of (+, -)-ofloxacin [(+,-)-I; R = H] were prepd. in 7 steps from (+, -)-1-(hydroxymethyl)oxopyridobenzoxazinecarboxylate [(+,-)-II; R = OH, R1 = Et]. HPLC resln. of (+,-)-II (R = O2CC6H3(NO2)2-3,5, R1 = Et), followed by monosepn., iodination, and radical deiodination of each enantiomer gave (+)- and (-)-II (R = H; R1 = Et). Ester hydrolysis, complexation with BF3.OEt2, and monosubstitution with 1-methylpiperazine gave (+)- and (-)-I (R = H). A similar sequence with fluorination rather than iodination-deiodination gave (+)- and (-)-I (R = F). (+,-)-I (R = H, F) and (+)- and (-)-I (R = H, F) were tested for bactericidal activity. (-)-I (R = H, F) were ca. twice as active as (+,-)-I (R = H, F) resp., and (+,-)-I (R = H, F) were considerably more active than (+)-I (R = H, F), resp. The structure of (S)-methylbenzoxazine III, prepd. by resln. of its racemate, was detd. by x-ray crystallog. and was related by synthesis to that of (-)-I (R = H, F).

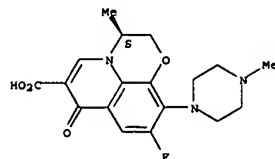
IT 82419-36-1, (+,-)-Ofloxacin
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological)

L7 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)
 study, unclassified); BIOL (Biological study)
 (antibacterial activity of)
 RN 82419-36-1 CAPLUS
 CN 7H-Pyrido[1,2,3-de]-1,4-benzoxazine-6-carboxylic acid,
 9-fluoro-2,3-dihydro-3-methyl-10-(4-methyl-1-piperazinyl)-7-oxo- (9CI)
 (CA INDEX NAME)



IT 100986-85-4P, (S)-Ofloxacin 100986-86-5P, (R)-Ofloxacin
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (prepn. and antibacterial activity of)
 RN 100986-85-4 CAPLUS
 CN 7H-Pyrido[1,2,3-de]-1,4-benzoxazine-6-carboxylic acid,
 9-fluoro-2,3-dihydro-3-methyl-10-(4-methyl-1-piperazinyl)-7-oxo-, (3S)-
 (9CI) (CA INDEX NAME)

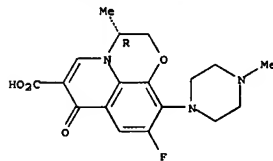
Absolute stereochemistry. Rotation (-).



RN 100986-86-5 CAPLUS
 CN 7H-Pyrido[1,2,3-de]-1,4-benzoxazine-6-carboxylic acid,
 9-fluoro-2,3-dihydro-3-methyl-10-(4-methyl-1-piperazinyl)-7-oxo-, (3R)-
 (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

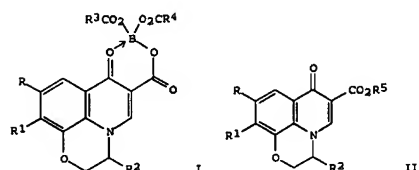
L7 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



L7 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1986:55293 CAPLUS
 DOCUMENT NUMBER: 105:153293
 TITLE: Boron chelate compounds
 PATENT ASSIGNEE(S): Daiichi Seiyaku Co., Ltd., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 4 pp.
 CODEN: JKXJAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

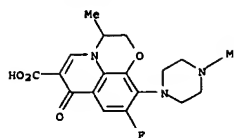
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 60075489	A2	19850427	JP 1983-184817	19831003
JP 04075239	B4	19921130		

PRIORITY APPLN. INFO.: JP 1983-184817 19831003
 OTHER SOURCE(S): CASREACT 105:153293
 Q1



AB Title chelates I (R, R1 = halo; R2 = H, alkyl; R3, R4 = aryl, alkyl, haloalkyl), intermediates for prepg. antibacterial substances II (R1 = 4-(substituted)-1-piperazinyl; R5 = H), were prepd. Thus, refluxing H3BO3, (EtCO)2O, and II (R = R1 = F; R2 = Me; R5 = Et) gave 95.2% I (R3 = R4 = Et) which was stirred with 4-methylpiperazine and neutralized to give 83.9% II (R1 = 4-methyl-1-piperazinyl; R5 = H).
 IT 82419-36-1P
 RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)
 RN 82419-36-1 CAPLUS
 CN 7H-Pyrido[1,2,3-de]-1,4-benzoxazine-6-carboxylic acid, 9-fluoro-2,3-dihydro-3-methyl-10-(4-methyl-1-piperazinyl)-7-oxo- (9CI) (CA INDEX NAME)

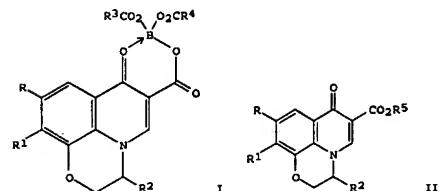
L7 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



L7 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2003 ACS on STN
 ACCESSION NUMBER: 1985:523491 CAPLUS
 DOCUMENT NUMBER: 103:123491
 TITLE: Oxazines
 PATENT ASSIGNEE(S): Daiichi Seiyaku Co., Ltd., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.
 CODEN: JKXJAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

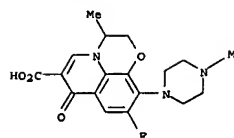
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 60078986	A2	19850504	JP 1983-188138	19831007
JP 03072073	B4	19911115		

PRIORITY APPLN. INFO.: JP 1983-188138 19831007
 OTHER SOURCE(S): CASREACT 103:123491
 Q1



AB Chelate disocn. of I (R = halo; R1 = (4-alkyl)-1-piperazinyl; R2 = H, alkyl; R3, R4 = aryl, alkyl, haloalkyl), prepd. from I (R1 = halo) and (alkyl)piperazine, gave II having antibacterial activities. Thus, refluxing H3BO3, (EtCO)2O, and II (R = R1 = F; R2 = Me; R5 = Et) gave 95.2% I (R3 = R4 = Et), which was stirred with 4-methylpiperazine and neutralized to give 83.9% II (R1 = 4-methyl-1-piperazinyl; R5 = H).
 IT 82419-36-1P
 RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)
 RN 82419-36-1 CAPLUS
 CN 7H-Pyrido[1,2,3-de]-1,4-benzoxazine-6-carboxylic acid, 9-fluoro-2,3-dihydro-3-methyl-10-(4-methyl-1-piperazinyl)-7-oxo- (9CI) (CA INDEX NAME)

L7 ANSWER 7 OF 7 CAPLUS COPYRIGHT 2003 ACS on STN (Continued)



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COST IN U.S. DOLLARS

SINCE FILE

ENTRY

TOTAL

SESSION

FULL ESTIMATED COST

39.02

187.38

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

ENTRY

TOTAL

SESSION

CA SUBSCRIBER PRICE

-4.56

-4.56

STN INTERNATIONAL LOGOFF AT 10:49:49 ON 22 SEP 2003

Habte

9/22/2003